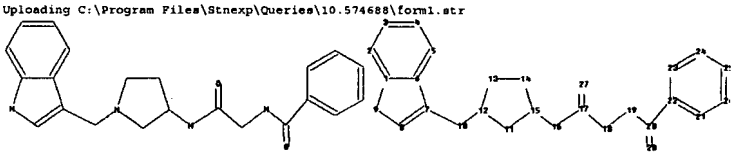
```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTARHH1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *  Welcome to STN International  * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS  3  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS  4  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS  5  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS  6  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS  7  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS  8  JAN 29  PHAR reloaded with new search and display fields
 NEWS  9  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 10  FEB 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 11  FEB 15  RUSSIAPAT enhanced with pre-1994 records
 NEWS 12  FEB 23  KOREAPAT enhanced with IPC 8 features and functionality
 NEWS 13  FEB 26  MEDLINE reloaded with enhancements
 NEWS 14  FEB 26  EMBASE enhanced with Clinical Trial Number field
 NEWS 15  FEB 26  TOXCENTER enhanced with reloaded MEDLINE
 NEWS 16  FEB 26  IFICDB/IFIPAT/IFIUDB reloaded with enhancements
 NEWS 17  FEB 26  CAS Registry Number crossover limit increased from 10,000
                  to 300,000 in multiple databases
 NEWS 18  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS 19  MAR 16  CASREACT coverage extended
 NEWS 20  MAR 20  MARPAT now updated daily
 NEWS 21  MAR 22  LWPI reloaded
 NEWS 22  MAR 30  RDISCLOSURE reloaded with enhancements
 NEWS 23  APR 02  JICST-EPLUS removed from database clusters and STN
 NEWS 24  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
 NEWS 25  APR 30  CHEMCATS enhanced with 1.2 million new records
 NEWS 26  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
 NEWS 27  APR 30  INPADOC replaced by INPADOCDB on STN
 NEWS 28  MAY 01  New CAS web site launched

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
```



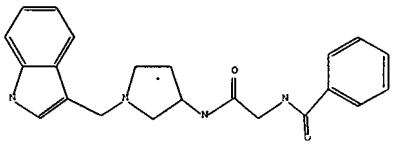
```
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:59:55 ON 08 MAY 2007

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:00:01 ON 08 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    7 MAY 2007  HIGHEST RN 934385-16-7
DICTIONARY FILE UPDATES:   7 MAY 2007  HIGHEST RN 934385-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10.574688\form1.str
```



```
chain nodes :
10  16  17  18  19  20  27  28
ring nodes :
1  2  3  4  5  6  7  8  9  11  12  13  14  15  21  22  23  24  25  26
chain bonds :
7-10  10-12  15-16  16-17  17-18  17-27  18-19  19-20  20-22  20-28
ring bonds :
1-2  1-6  1-9  2-3  3-4  4-5  5-6  6-7  7-8  8-9  11-12  11-15  12-13  13-14  14-15
21-22  21-26  22-23  23-24  24-25  25-26
exact/norm bonds :
1-9  6-7  7-8  8-9  10-12  11-12  11-15  12-13  13-14  14-15  15-16  16-17  17-27
18-19  19-20  20-28
```



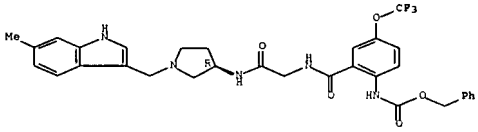
```
exact bonds :
7-10  17-18  20-22
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  21-22  21-26  22-23  23-24  24-25  25-26

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:CLASS  17:CLASS  18:CLASS  19:CLASS  20:CLASS
21:Atom
22:Atom  23:Atom  24:Atom  25:Atom  26:Atom  27:CLASS  28:CLASS



L1      STRUCTURE UPLOADED

=>

=> d
L1 HAS NO ANSWERS
L1             STR
```



```
Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 09:00:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        14 TO ITERATE

100.0% PROCESSED       14 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           56 TO       504
PROJECTED ANSWERS:               1 TO        80

L2            1 SEA SSS SAM L1

=> d

L2   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   850414-10-7  REGISTRY
ED   Entered STN:  13 May 2005
CN   Carbamic acid, [2-[[[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-
     pyrrolidinyl]amino]-2-oxoethyl]amino]carbonyl]-4-(trifluoromethoxy)phenyl]-
```



```
     , phenylmethyl ester (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C32 H32 F3 N5 O5
SR   CA
LC   STN Files:   CA, CAPLUS

Absolute stereochemistry.
```

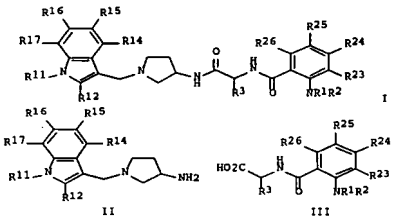


```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             1 REFERENCES IN FILE CA (1907 TO DATE)
             1 REFERENCES IN FILE CAPLUS (1907 TO DATE)


=> file hcaplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         2.40       2.61

FILE 'HCAPLUS' ENTERED AT 09:00:31 ON 08 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 8 May 2007  VOL  ISS  ISS
FILE LAST UPDATED: 7 May 2007  (20070507/ED)
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 8 May 2007  VOL 146 ISS 20
FILE LAST UPDATED: 1 May 2007  (20070501/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate

=> s l2
L3            1 L2

=> d ibib abs hitstr
```

L3 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:362059 HCAPLUS Full-text
DOCUMENT NUMBER: 142:430130
TITLE: Preparation of aminopyrrolidine derivatives as chemokine receptor antagonists
INVENTOR(S): Takeyasu, Takumi; Koga, Masahiro; Sato, Yoshiki
PATENT ASSIGNEE(S): Teijin Pharma Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005112787	A	20050428	JP 2003-349319	20031008
PRIORITY APPLN. INFO.:			JP 2003-349319	20031008

OTHER SOURCE(S): MARPAT 142:430130
GI



I

II

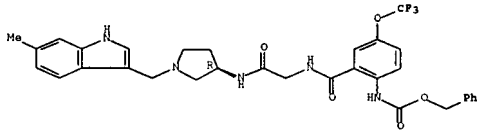
III

AB The derive. I [R11 = H, C1-6 alkyl, C2-7 alkanoyl; R12, R14-R17 = H, halo, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, OH, C2-7 alkoxycarbonyl; R1, R2 = H; R23-R26 = H, halo, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, OH; R3 = H, C1-6 alkyl] or their salts, useful as chemokine receptor antagonists for prevention/treatment of diseases involving infiltration of monocytes, lymphocytes, etc., into tissues (no data), are prepared by condensation of II (R11, R12, R14-R17 = same as above) with III (R1, R2 = H, amino-protecting group; NR1R2 may be cyclyl; R3, R23-R26 = same as above) and optionally deprotection of the NR1R2 group. Thus, a mixture of THF, (R)-3-amino-1-(6-methylindol-3-ylmethyl)pyrrolidine (0.550 g, preparation given), 2-(2-tert-butoxycarbonylamino-5-trifluoromethoxybenzamido)acetic acid (0.757 g), 1-hydroxy-1,2,3-benzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N was stirred at 45° for 20 h to give 1.51 g (R)-3-[2-(2-tert-butoxycarbonylamino-5- trifluoromethoxybenzamido)acetamido]-1-(6-methylindol-3- ylmethyl)pyrrolidine. This compound (17.688 g) was dissolved in MeOH and reacted treated HCl/1,4-dioxane at 40° for 20 h to give 13.54 g (R)-3-[2-(2-amino-5-trifluoromethoxybenzamido)acetamido]-1-(6-methylindol- 3-ylmethyl)pyrrolidine.

IT 850414-10-7P
RL: IMP (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(aminobenzamido)acetamido]-N-(indolylmethyl)pyrrolidines as chemokine receptor antagonists from (indolylmethyl)aminopyrrolidines and (aminobenzamido)acetic acids)

RN 850414-10-7 HCAPLUS
CN Carbamic acid, [2-[[[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]amino]carbonyl]-4-(trifluoromethoxy)phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



FULL SEARCH INITIATED 09:02:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 279 TO ITERATE

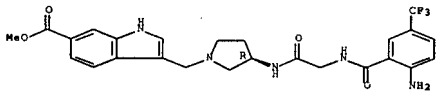
100.0% PROCESSED 279 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

L4 16 SEA SSS FUL L1

=> d scan

L4 16 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1H-Indole-6-carboxylic acid, 3-[[(3R)-3-[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-1-pyrrolidinyl]methyl]-, methyl ester (9CI)
MF C25 H26 F3 N5 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	187.78
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.78

FILE 'HCAPLUS' ENTERED AT 09:02:31 ON 08 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 8 May 2007 VOL ISS ISS
FILE LAST UPDATED: 7 May 2007 (20070507/ED)
held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 8 May 2007 VOL 146 ISS 20

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.07	15.68
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-0.78

FILE 'REGISTRY' ENTERED AT 09:02:13 ON 08 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 MAY 2007 HIGHEST RN 934385-16-7
DICTIONARY FILE UPDATES: 7 MAY 2007 HIGHEST RN 934385-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s l1 sss full

FILE LAST UPDATED: 1 May 2007 (20070501/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate

=> s l4 not l3
10 L4
L5 9 L4 NOT L3

=> d ibib abs hitstr

L5 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1096884 HCAPLUS Full-text
DOCUMENT NUMBER: 145:426031
TITLE: Crystal form of aminopyrrolidine derivative
INVENTOR(S): Takeyasu, Takumi; Sato, Yoshinori; Kawana, Asahi; Takahashi, Yuji; Ishikawa, Yuji; Suda, Kaoru
PATENT ASSIGNEE(S): Teijin Pharma Limited, Japan
SOURCE: PCT Int. Appl., 37pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006109836	A1	20061019	WO 2006-JP307784	20060406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

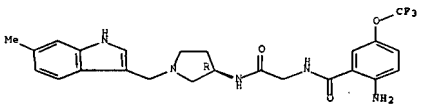
PRIORITY APPLN. INFO.: JP 2005-110854 A 20050407

AB Two crystal forms of (R)-3-[2-(2-amino-5-trifluoromethoxybenzamido)acetami do]-1-(6-methylindol-3-ylmethyl)pyrrolidine (I) which exhibit specific x-ray powder diffraction patterns or IR absorption spectra, amorphous form thereof, a pharmaceutical composition containing the crystal or amorphous form as an active ingredient, as well as methods for preparing them are provided. To I was added EtOH, and the solution was heated at 70°. The solution was colled and the precipitated crystals were filtered.

IT 308362-58-5
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crystal form of aminopyrrolidine derivative)

RN 308362-58-5 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



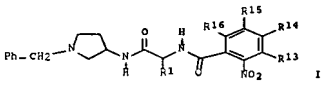
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2-9

L5 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1329006 HCAPLUS Full-text
DOCUMENT NUMBER: 144:69721
TITLE: Method for producing acetamidopyrrolidine derivatives and intermediates therefor
INVENTOR(S): Kawana, Asahi; Takeyasu, Takumi; Hazato, Atsuo
PATENT ASSIGNEE(S): Teijin Pharma Limited, Japan
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

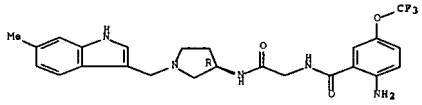
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121081	A1	20051222	WO 2005-JP11187	20050613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005252112	A1	20051222	AU 2005-252112	20050613
CA 2570179	A1	20051222	CA 2005-2570179	20050613
EP 1760075	A1	20070307	EP 2005-751221	20050613
R: AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			JP 2004-175158	A 20040614
			JP 2004-175159	A 20040614
			WO 2005-JP11187	W 20050613

OTHER SOURCE(S): MARPAT 144:69721
GI



AB The title compds. I [R1 = H, alkyl; R13 - R16 = H, halo, alkyl, etc.; a proviso is given] are prepared by reaction of 1-benzyl-3-aminopyrrolidine with nitrobenzamidoacetic acid derivs. The title compds. are intermediates for chemokine receptor antagonists. Thus, a mixture of (2-nitro-5-trifluoromethoxybenzamido)acetic acid, (R)-1-benzyl-3-aminopyrrolidine, 1-hydroxy-1,2,3-benzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide HCl salt in Et acetate was stirred at 40°C for 4 h to give (R)-3-[2-(2-nitro-5- trifluoromethoxybenzamido)acetamido]-1-benzylpyrrolidine.
IT 308362-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(method for producing acetamidopyrrolidine derivs. via reaction of aminopyrrolidine derivs. with nitrobenzamidoacetic acid derivs.)
RN 308362-58-5 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:346983 HCAPLUS Full-text
DOCUMENT NUMBER: 142:392285
TITLE: Process for producing aminopyrrolidine derivative and intermediate compound
INVENTOR(S): Takeyasu, Takumi; Sato, Yoshinori; Imai, Minoru; Sakai, Mitsuru; Manabe, Kenji; Matsumoto, Yoshiyuki; Takeuchi, Susumu; Kawana, Asahi; Koga, Masahiro; Asahita, Mitsuharu
PATENT ASSIGNEE(S): Teijin Pharma Limited, Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Instant

WO 2005035493	A1	20050421	WO 2004-JP15186	20041007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004279721	A1	20050421	AU 2004-279721	20041007
CA 2542012	A1	20050421	CA 2004-2542012	20041007
EP 1676837	A1	20060705	EP 2004-792414	20041007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1863769	A	20061115	CN 2004-80029562	20041007
BR 2004015018	A	20061128	BR 2004-15018	20041007
US 2007073064	A1	20070329	US 2006-574688	20060405
PRIORITY APPLN. INFO.:			JP 2003-349318	A 20031008
			JP 2003-350439	A 20031009
			JP 2003-350441	A 20031009
			WO 2004-JP15186	W 20041007

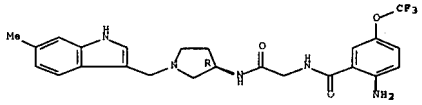
OTHER SOURCE(S): MARPAT 142:392285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB There is disclosed a process for industrially producing an aminopyrrolidine derivative represented by the following formula (I) [R3 = H, C1-6 alkyl; R11 = H, C1-6 alkyl, C2-7 alkanoyl; R12, R14, R15, R17 = H, halo, each optionally halogenated C1-6 alkyl or C1-6 alkoxy, C2-7 alkoxycarbonyl; R23, R24, R25, R26 = H, halogeno, each optionally halogenated C1-6 alkyl or C1-6 alkoxy, hydroxy, C2-7 alkoxycarbonyl] and an intermediate thereof, e.g. (II). The compound I has antagonistic activity against a chemokine receptor. Thus, 5.07 g (R)-3-[2-[(2-(tert- butoxycarbonylamino)-5-trifluoromethoxybenzoyl]amino]acetamido]pyrrolidine (III) and 1.98 g 6-methylgramine were dissolved in 100 mL 2-propanol, heated at 95° with stirring while distilling away the solvent under slightly reduced pressure to give a residue upon which the same procedure was repeated four more times. The final reside was treated with 100 mL EtOAc and the resulting solution was washed with 100 mL 1 M aqueous NaOH solution and then twice with saturated aqueous NaCl solution, and dried over anhydrous Na2SO4 to give, after distilling away the solvent, 6.07 g (R)-3-[2-[[2-(tert- butoxycarbonylamino)-5-trifluoromethoxybenzoyl]amino]acetamido]-1-[(6- methylindol-3-yl)methyl]pyrrolidine (IV) (R = Boc). IV (6.07 g) was treated with 30 mL 10% HCl/MeOH, stirred at 50° for 2 h and treated with 120 mL 2 M HCl and 120 mL EtOAc to give, after workup, 3.49 g (R)-3-[2-[(2-amino-5-trifluoromethoxybenzoyl)amino]acetamido]-1-[(6- methylindol-3-yl)methyl]pyrrolidine IV (R = H).
IT 308362-58-5P, (R)-3-[2-[(2-Amino-5-trifluoromethoxybenzoyl)amino]acetamido]-1-[(6-methylindol-3-yl)methyl]pyrrolidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing aminopyrrolidine derivative as chemokine receptor antagonist and intermediates thereof)
RN 308362-58-5 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

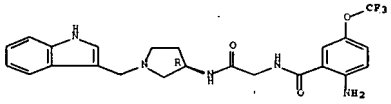
NAME)

Absolute stereochemistry.



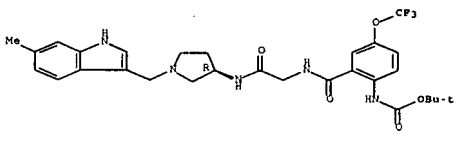
IT 308362-53-0P, (R)-3-[2-[(2-Amino-5-trifluoromethoxybenzoyl)amino]acetamido]-1-[(indol-3-yl)methyl]pyrrolidine 850140-82-8P, (R)-3-[2-[[2-(tert-Butoxycarbonylamino)-5-trifluoromethoxybenzoyl]amino]acetamido]-1-[(6-methylindol-3-yl)methyl]pyrrolidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for producing aminopyrrolidine derivative as chemokine receptor antagonist and intermediates thereof)
RN 308362-53-0 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-(1H-indol-3-ylmethyl)-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 850140-82-8 HCAPLUS
CN Carbamic acid, [2-[[[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]amino]carbonyl]-4-(trifluoromethoxy)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

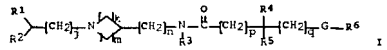


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

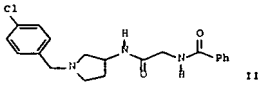
L5 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:237356 HCAPLUS Full-text
DOCUMENT NUMBER: 136:263090
TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1α and/or MCP-1 on target cells
INVENTOR(S): Shiota, Tatsuki; Kataoka, Ken-Ichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Minoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wilna; Teig, Steven
PATENT ASSIGNEE(S): Teijin Limited, Japan; Dupont Pharmaceuticals Research Laboratories
SOURCE: U.S., 364 pp., Cont. of U.S. Ser. No. 554,562.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6362177	B1	20020326	US 2001-905078	20010716
US 6451842	B1	20020917	US 2000-554562	20000516
US 6410566	B1	20020625	US 2001-905077	20010716
PRIORITY APPLN. INFO.:			US 2000-554562	A3 20000516
			US 1997-972484	B1 19971118
			US 1998-55285	B1 19980406
			US 1998-133434	B1 19980813
			WO 1998-US23254	W 19981117

OTHER SOURCE(S): MARPAT 136:263090
GI



I



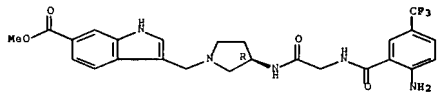
II

AB The title compds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 3-4 and k+m = 5 or 6; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH, Ph, etc.; p, q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addition salts which inhibit the action of chemokines such as MIP-1α and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepared Thus, reaction of N-benzoylglycine with 3-amino-1-(4- chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1α binding to THP-1 cells at 10 μM.

IT 226248-82-4P, 1H-Indole-6-carboxylic acid, 3-[[(3R)-3-[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-1-pyrrolidinyl]methyl]-, methyl ester 226248-83-5P, Benzamide, N-[2-[[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine derivs. for inhibition of action of chemokines such as MIP-1α and/or MCP-1 on target cells)

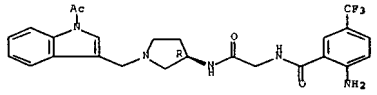
RN 226248-82-4 HCAPLUS
CN 1H-Indole-6-carboxylic acid, 3-[[(3R)-3-[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-1-pyrrolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226248-83-5 HCAPLUS
CN Benzamide, N-[2-[[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

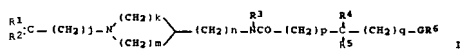


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:435041 HCAPLUS Full-text
DOCUMENT NUMBER: 135:33431
TITLE: Preparation of cycloamine as CCR5 receptor antagonists
INVENTOR(S): Shiota, Tatsuki; Yokoyama, Tomonori; Kamimura, Takashi
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042208	A1	20010614	WO 2000-JP8627	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393757	A1	20010614	CA 2000-2393757	20001206
AU 200117314	A	20010618	AU 2001-17314	20001206
AU 778173	B2	20041118		
EP 1238970	A1	20020911	EP 2000-979945	20001206
EP 1238970	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 346042	T	20061215	AT 2000-979945	20001206
US 2007010509	A1	20070111	US 2002-148831	20020605
PRIORITY APPLN. INFO.:			JP 1999-348778	A 19991208
			WO 2000-JP8627	W 20001206

OTHER SOURCE(S): MARPAT 135:33431
GI



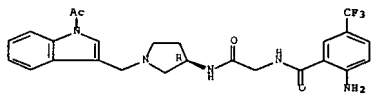
I

AB Therapeutic or preventive agents for β-chemokine receptor CCR5-related diseases such as AIDS, rheumatoid arthritis, and nephritis, containing as the active ingredient, cyclic amine derivs. such as piperidine and pyrrolidine derivs. of general formula [I; R1 = (un)substituted Ph, C3-8 cycloalkyl, or aromatic heterocyclyl containing 1-3 heteroatoms of O, S, and/N wherein Ph and aromatic heterocyclyl group is optionally condensed to benzene ring or heterocyclyl ring containing 1-3 heteroatoms of O, S, and/N to from an (un)substituted condensed ring; R2 = H, (un)substituted C1-6 alkyl or Ph, C2-7 alkoxycarbonyl, HO; j, k = 0-2; m = 2-4; n = 0,1; R3 = H, (un)substituted phenyl-optionally substituted C1-6 alkyl; R4, R5 = H, HO, Ph, (un)substituted C1-6 alkyl; or R4 and R5 together represent a 3-6-membered ring cyclic hydrocarbyl; p, q = 0,1; G = CO, SO2, CO2, NR7CO, CONR7, NHCONH, NHC(S)NH, NR7SO2, SO2 NR7, NHCO2, O2CNH (wherein R7 = H, C1-6 alkyl; or R7 and R5 together form C2-5 alkylene); R6 = (un)substituted C3-8 cycloalkyl, C3-6 cycloalkenyl, Ph, benzyl, or aromatic heterocyclyl containing 1-3 heteroatoms of O, S, and/N, wherein Ph, benzyl, and aromatic heterocyclyl are optionally condensed with benzene ring or aromatic heterocyclyl group containing 1-3 heteroatoms of O, S, and/N to form an (un)substituted condensed ring], pharmaceutically acceptable adducts of the same with acids, or pharmaceutically acceptable adducts thereof with C1-6 alkyl, are described. Above CCR5-related diseases include diseases accompanied by destruction of cartilage or bone (in particular chronic rheumatoid arthritis), nephritis or kidney diseases (in particular glomerulonephritis, interstitial nephritis, or nephrosis), demyelinating diseases (in particular multiple sclerosis), post-transplant rejection, host-vs.-graft diseases (GVHD), diabetes, chronic obstructive pulmonary diseases (COPD), bronchial asthma, atopic dermatitis, sarcoidosis, fibrosis, arteriosclerosis, psoriasis, and inflammatory bowel diseases. Thus, 3-(trifluoromethylthio)benzoic acid was condensed with (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine using diisopropylcarbodiimide and HOBt in tert-butanol and CHCl3 at room temperature for 15 h to give (R)-1-(4-chlorobenzyl)-3-[[N-(3- (trifluoromethylthio)benzoyl)glycyl]amino]pyrrolidine (II). II and (R)-1-(6-methyl-3-indolylmethyl)-3-[[N-(2-amino-5-(trifluoromethoxy)benzoyl)glycyl]amino]pyrrolidine 10 μM in vitro inhibited by 20-50% and >80%, resp., the binding of [125I]macrophage inflammatory protein-1α (MIP-1α) to CCR5-receptor expressed in CHO cells.

IT 226248-83-5P 343930-38-1P 343930-39-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloamine as CCR5 receptor antagonists for therapeutics or remedies of β-chemokine receptor CCR5-related diseases such as AIDS, rheumatoid arthritis, and nephritis)

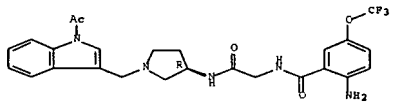
RN 226248-83-5 HCAPLUS
CN Benzamide, N-[2-[[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



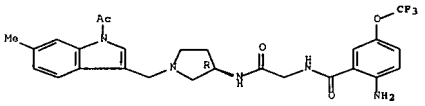
RN 343930-38-1 HCAPLUS
CN Benzamide, N-[2-[[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343930-39-2 HCAPLUS
CN Benzamide, N-[2-[[(3R)-1-[(1-acetyl-6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 9 'HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:114982 HCAPLUS Full-text
DOCUMENT NUMBER: 134:173028
TITLE: Cyclic amine CCR3 antagonists
INVENTOR(S): Shiota, Tatsuki; Sudoh, Masaki; Yokoyama, Tomonori; Muroga, Yumiko; Kamimura, Takashi; Nakanishi, Akinobu
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010439	A1	20010215	WO 2000-JP5260	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2378499	A1	20010215	CA 2000-2378499	20000804
EP 1201239	A1	20020502	EP 2000-950006	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AU 779610	B2	20050203	AU 2000-63193	20000804
PRIORITY APPLN. INFO.:			JP 1999-220864 A	19990804
			WO 2000-JP5260 W	20000804

OTHER SOURCE(S): MARPAT 134:173028

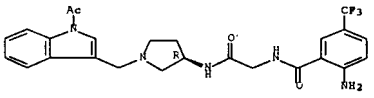
AB Drugs containing as the active ingredient cyclic amine derivs. represented by general formula (Markush's structure given), pharmaceutically acceptable acid addition salts thereof or pharmaceutically acceptable C1-6 alkyl adducts thereof. These drugs are efficacious in preventing and treating diseases in which CCR3 participates such as asthma and allergic rhinitis.

IT 226248-83-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

RN 226248-83-5 HCAPLUS
CN Benzamide, N-[2-[{[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

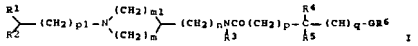


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:824101 HCAPLUS Full-text
DOCUMENT NUMBER: 134:5154
TITLE: Preparation of cyclic amine derivatives as remedies or preventives for diseases in association with chemokines or chemokine receptors
INVENTOR(S): Shiota, Tatsuki; Miyagi, Fuminori; Kamimura, Takashi; Ohta, Tomohiro; Takano, Yasuhiro; Horiuchi, Hideki
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: PCT Int. Appl., 405 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069432	A1	20001123	WO 2000-JP3203	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2373942	A1	20001123	CA 2000-2373942	20000518
EP 1179341	A1	20020213	EP 2000-927808	20000518
EP 1179341	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 515374	A	20040924	NZ 2000-515374	20000518
AU 779954	B2	20050224	AU 2000-46147	20000518
AT 308985	T	20051115	AT 2000-927808	20000518
ES 2250132	T3	20060416	ES 2000-927808	20000518
NO 2001005599	A	20011116	NO 2001-5599	20011116
PRIORITY APPLN. INFO.:			JP 1999-175856 A	19990518
			JP 1999-251464 A	19990906
			WO 2000-JP3203 W	20000518

OTHER SOURCE(S): MARPAT 134:5154
GI



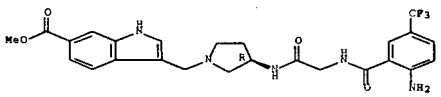
I

AB Remedies or preventives for diseases in association with chemokines such as MIP-1α and/or MCP-1 or chemokine receptors such as CCR1 or CCR2 contain as the active ingredient N-acyl-amino acid N-cyclic amino or N-cyclic aminoalkyl-amide derivs. represented by general formula [I; (un)substituted Ph, C3-8 cycloalkyl, aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S, and/or N; R2 = H, (un)substituted C1-6 alkyl, C2-7 alkoxycarbonyl, HO, (un)substituted Ph; p1, m1 = 0-2; m = 2-4; n = 0,1; R3 = H, (un)substituted C1-6 alkyl; R4, R5 = H, OH, (un)substituted Ph or C1-6 alkyl; or R4 and R5 are combined together to form a 3- to 5-membered hydrocarbyl; p, q = 0,1; G = CO, SO2, CO2, NR7CO, CONR7, NR7SO2, or SO2NR7, NHCONH, NHCSNH, NH CO2, O2CNH; R7 = H, C1-6 alkyl; or R7 and R5 are combined together to form C2-5 alkylene; R6 = (un)substituted Ph, C3-8 cycloalkyl, C3-6 cycloalkenyl, CH2Ph, or aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S, and/or N, wherein Ph, CH2Ph, or aromatic heterocyclyl group is optionally fused with (un)substituted benzene or aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S, and/or N], pharmaceutically acceptable acid-adducts thereof, or pharmaceutically acceptable C1-6 alkyl-adducts thereof. The above diseases include destruction of bone or cartilage (e.g. arthritis, rheumatoid arthritis, osteoarthritis, osteoporosis, injury, and tumor), nephritis, kidney diseases, glomerulus or interstitial nephritis, nephrotic syndrome, demyelinating disease, or multiple sclerosis. Thus, N-3-ethoxybenzyl-D-methionine-N-[1-(4-chlorobenzyl)-4-piperazinylmethyl]amide in vitro inhibited the binding of human MIP-1α to THP-1 cells by >80% at 2 μM.

IT 226248-82-4P 226248-83-5P 308362-52-9P 308362-53-0P 308362-54-1P 308362-55-2P 308362-56-3P 308362-57-4P 308362-58-5P 308362-59-6P 308362-61-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine derivs. as remedies or preventives for diseases in association with chemokines or chemokine receptors)

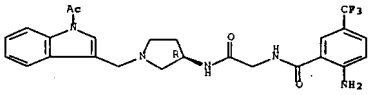
RN 226248-82-4 HCAPLUS
CN 1H-Indole-6-carboxylic acid, 3-[[(3R)-3-[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-1-pyrrolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



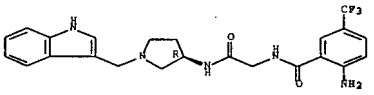
RN 226248-83-5 HCAPLUS
CN Benzamide, N-[2-[{[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



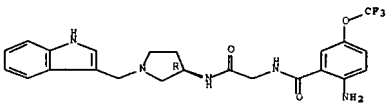
RN 308362-52-9 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-(1H-indol-3-ylmethyl)-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



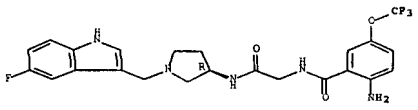
RN 308362-53-0 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-(1H-indol-3-ylmethyl)-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



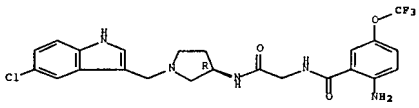
RN 308362-54-1 HCAPLUS
CN Benzamide, 2-amino-N-[2-[{[(3R)-1-[(5-fluoro-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



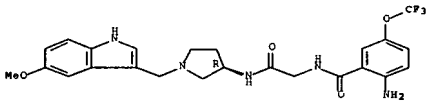
RN 308362-55-2 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(5-chloro-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



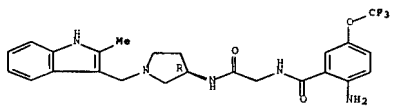
RN 308362-56-3 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(5-methoxy-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



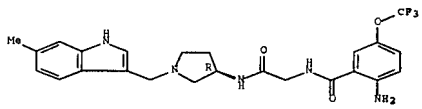
RN 308362-57-4 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(2-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



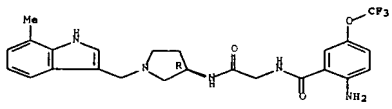
RN 308362-58-5 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(6-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



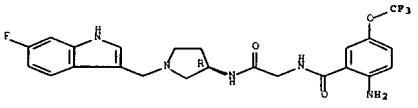
RN 308362-59-6 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(7-methyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308362-61-0 HCAPLUS
CN Benzamide, 2-amino-N-[2-[[(3R)-1-[(6-fluoro-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-5-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

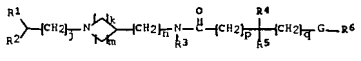


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

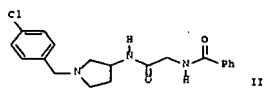
L5 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:350650 HCAPLUS Full-text
DOCUMENT NUMBER: 131:18925
TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1α and/or MCP-1 on target cells
INVENTOR(S): Shiota, Tatsuki; Kataoka, Kenichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Monoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wil A.; Teig, Steven L.
PATENT ASSIGNEE(S): Teijin Ltd., Japan; Combichem, Inc.
SOURCE: PCT Int. Appl., 374 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925686	A1	19990527	WO 1998-US23254	19981117
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309328	A1	19990527	CA 1998-2309328	19981117
AU 9913741	A	19990607	AU 1999-13741	19981117
AU 744685	B2	20020228		
EP 1030840	A1	20000830	EP 1998-957495	19981117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200001399	T2	20001121	TR 2000-200001399	19981117
HU 200004200	A2	20010328	HU 2000-4200	19981117
BR 9814645	A	20010731	BR 1998-14645	19981117
EE 200000294	A	20010815	EE 2000-294	19981117
JP 2001523661	T	20011127	JP 2000-521070	19981117
JP 3786578	B2	20060614		
RU 2216540	C2	20031120	RU 2000-112403	19981117
CN 1496981	A	20040519	CN 2002-2002118546	19981117
EP 1535909	A2	20050601	EP 2005-75285	19981117
EP 1535909	A3	20050713		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY				
EP 1553085	A1	20050713	EP 2005-75283	19981117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LV, FI, MK, CY				
CN 1660815	A	20050831	CN 2004-10082013	19981117
PL 192083	B1	20060831	PL 1998-342207	19981117
HR 2000000214	A1	20011231	HR 2000-214	20000413
NO 2000002486	A	20000718	NO 2000-2486	20000512
BG 104441	A	20010131	BG 2000-104441	20000516
BG 64848	B1	20060630		
US 6451842	B1	20020917	US 2000-554562	20000516
PRIORITY APPLN. INFO.:			US 1997-972484	A 19971118
			US 1998-55285	A 19980406
			US 1998-133434	A 19980813
			CN 1998-811317	A3 19981117
			EP 1998-957495	A3 19981117
			WO 1998-US23254	W 19981117

OTHER SOURCE(S): MARPAT 131:18925
GI



I



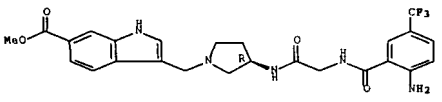
II

AB The title compds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 2-4; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH< Ph, etc.; p = 0-1; q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addition salts which inhibit the action of chemokines such as MIP-1α and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepared Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1α binding to THP-1 cells at 10 μM.

IT 226248-82-4P 226248-83-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine derivs. for inhibition of the action of chemokines such as MIP-1α and/or MCP-1 on target cells)

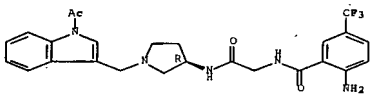
RN 226248-82-4 HCAPLUS
CN 1H-Indole-6-carboxylic acid, 3-[[(3R)-3-[[[[2-amino-5-(trifluoromethyl)benzoyl]amino]acetyl]amino]-1-pyrrolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226248-83-5 HCAPLUS
CN Benzamide, N-[2-[[(3R)-1-[(1-acetyl-1H-indol-3-yl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]-2-amino-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



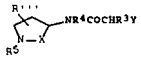
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:745183 HCAPLUS Full-text
DOCUMENT NUMBER: 130:14263
TITLE: Preparation of amino acid derivatives as protease inhibitors
INVENTOR(S): Marquis, Robert W.; Ru, Yu; Veber, Daniel F.
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850534	A1	19981112	WO 1998-US9192	19980506
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2289010	A1	19981112	CA 1998-2289010	19980506
AU 9872885	A	19981127	AU 1998-72885	19980506
EP 991753	A1	20000412	EP 1998-920274	19980506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9808502	A	20000523	BR 1998-8502	19980506
TR 9902752	T2	20000621	TR 1999-2752	19980506
HU 200001285	A2	20000928	HU 2000-1285	19980506
JP 2001525809	T	20011211	JP 1998-548418	19980506
ZA 9803843	A	19981109	ZA 1998-3843	19980507
US 6369077	B1	20020409	US 1999-423325	19991104
NO 9905433	A	19991105	NO 1999-5433	19991105
MX 9910260	A	20000430	MX 1999-10260	19991108

PRIORITY APPLN. INFO.: US 1997-46865P P 19970508
WO 1998-US9192 W 19980506

OTHER SOURCE(S): MARPAT 130:14263
GI



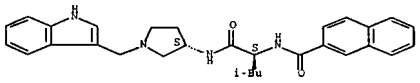
I

AB Amino acid derivs. I [Y = aryl, NR1R2; R1 = R'', R''CO, R''CS, R''SO2, R''O2C, R''R'NCO, R''R'NCS; R2 = H, alkyl, alkenyl, arylalkyl, heterocyclylalkyl; R3 = H, alkenyl, alkynyl, heterocyclyl, aryl, (un)substituted alkyl; R4 = H, alkyl, alkenyl, arylalkyl, heterocyclylalkyl; R5 = R6NR'CHR7Z, arylalkyl, heterocyclylalkyl, adamantylcarbonyl, arylcarbonyl, heterocyclylcarbonyl; R6 = R'', R''CO, R''CS, R''SO2, R''O2C, R''R'NCO, R''R'NCS, R''O2CNR'CHR*CO; R7 = cycloalkylalkyl, arylalkyl, heterocyclylalkyl, arylalkoxy, heterocyclylalkoxy, (un)substituted alkyl; R* = H, alkyl, alkenyl, cycloalkylalkyl, arylalkyl, heterocyclylalkyl; R' = H, alkyl, alkenyl, arylalkyl, heterocyclylalkyl; R'' = H, alkyl, cycloalkylalkyl, arylalkyl, heterocyclylalkyl; Z = CO, CH2; X = (CH2)n, where n = 1, 2, 3] were prepared as protease inhibitors. Thus, 3-[[N$-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]pyrrol idine was prepared from 3-(tert-butoxycarbonylamino)pyrrolidine, Cbz-leucine, Boc-leucine, and quinaldic acid.

IT 215946-69-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as protease inhibitors)

RN 215946-69-3 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[(1S)-1-[[[(3S)-1-(1H-indol-3-ylmethyl)-3-pyrrolidinyl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


```
=> FIL STNGUIDE
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              91.63     279.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -7.02      -7.80

FILE 'STNGUIDE' ENTERED AT 09:12:46 ON 08 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: May  4, 2007 (20070504/UP).

=> 9939
=>
=>
9939 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> log hold
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               3.00     282.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -7.80

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:43:03 ON 08 MAY 2007
```